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Translation

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference 2617WO0P	FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)	
International application No. PCT/JP00/04036	International filing date (<i>day month year</i>) 21 June 2000 (21.06.00)	Priority date (<i>day month year</i>) 22 June 1999 (22.06.99)
International Patent Classification (IPC) or national classification and IPC C07D 233/64		
Applicant TAKEDA CHEMICAL INDUSTRIES, LTD.		

<p>1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of <u>4</u> sheets, including this cover sheet.</p> <p><input type="checkbox"/> This report is also accompanied by ANNEXES, i.e., sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).</p> <p>These annexes consist of a total of _____ sheets.</p>	
<p>3. This report contains indications relating to the following items:</p> <p>I <input checked="" type="checkbox"/> Basis of the report</p> <p>II <input type="checkbox"/> Priority</p> <p>III <input type="checkbox"/> Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p>IV <input type="checkbox"/> Lack of unity of invention</p> <p>V <input checked="" type="checkbox"/> Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p>VI <input checked="" type="checkbox"/> Certain documents cited</p> <p>VII <input type="checkbox"/> Certain defects in the international application</p> <p>VIII <input type="checkbox"/> Certain observations on the international application</p>	

Date of submission of the demand 03 August 2000 (03.08.00)	Date of completion of this report 11 April 2001 (11.04.2001)
Name and mailing address of the IPEA/JP	Authorized officer
Facsimile No.	Telephone No.

I. Basis of the report**1. With regard to the **elements** of the international application:***

- ☒ the international application as originally filed
- ☐ the description:
pages _____, as originally filed
pages _____, filed with the demand
pages _____, filed with the letter of _____
- ☐ the claims:
pages _____, as originally filed
pages _____, as amended (together with any statement under Article 19
pages _____, filed with the demand
pages _____, filed with the letter of _____
- ☐ the drawings
pages _____, as originally filed
pages _____, filed with the demand
pages _____, filed with the letter of _____
- ☐ the sequence listing part of the description:
pages _____, as originally filed
pages _____, filed with the demand
pages _____, filed with the letter of _____

2. With regard to the **language, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.**

These elements were available or furnished to this Authority in the following language _____ which is:

- ☐ the language of a translation furnished for the purposes of international search (under Rule 23.1(b)).
- ☐ the language of publication of the international application (under Rule 48.3(b)).
- ☐ the language of the translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:**

- ☐ contained in the international application in written form.
- ☐ filed together with the international application in computer readable form.
- ☐ furnished subsequently to this Authority in written form.
- ☐ furnished subsequently to this Authority in computer readable form.
- ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. ☐ The amendments have resulted in the cancellation of:

- ☐ the description, pages _____
- ☐ the claims, Nos. _____
- ☐ the drawings, sheets/fig _____

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).**

* Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rule 70.16 and 70.17).

** Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**1. Statement**

Novelty (N)	Claims	2-14,17	YES
	Claims	1,15,16	NO
Inventive step (IS)	Claims	2-14,17	YES
	Claims	1,15,16	NO
Industrial applicability (IA)	Claims	1-17	YES
	Claims		NO

2. Citations and explanations**Prior Art Documents:**

- 1) EP, 503785, A1 (Sankyo Co., Ltd.) 16 February 1991 (16.02.91)
- 2) Journal of Medicinal Chemistry, Vol. 28, No. 11, 1985, pp. 1704-1716
- 3) US, 4367236, A (Merrer Dow Pharmaceuticals, Inc.) 4 June 1983 (04.06.83)

Reaction Scheme H on pp. 74-75 and 77-78, and Preparation 27 on p. 158 of document 1 describe a process for the manufacture of 4(5)-isobutyl imidazole derivatives by the reaction of a substituted 4(5)-cyanoimidazole and isopropyl magnesium bromide.

Therefore, the process set forth in Claim 10 and the compounds set forth in Claims 15 and 16 do not appear to be novel.

Compounds 2-21 in Table II on p. 1707 of document 2 and the compounds of Example 2 of document 3 are compounds having a branched alkylcarbonyl group of 3 or more carbons at the No. 4 position of the imidazole, and therefore the compounds set forth in Claims 15 and 16 do not appear to be novel.

Furthermore, in the Claims of this application, the A ring is defined as an optionally substituted imidazole ring, but the substituents are not specified. If the term "substituent" merely refers to a protective group or a group not involved in the reaction, then the compounds set forth in Claims 1, 15 and 16 do not appear to involve an inventive step.

VI. Certain documents cited

1. Certain published documents (Rule 70.10)

<u>Application No. Patent No.</u>	<u>Publication date (day/month/year)</u>	<u>Filing date (day/month/year)</u>	<u>Priority date (valid claim) (day/month/year)</u>
WO,99/54309,A1 (E.X)	28 October 1999 (28.10.1999)	22 April 1999 (22.44.1999)	23 April 1998 (23.04.1998)

2. Non-written disclosures (Rule 70.9)

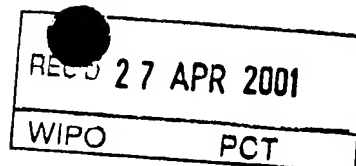
<u>Kind of non-written disclosure</u>	<u>Date of non-written disclosure (day/month/year)</u>	<u>Date of written disclosure referring to non-written disclosure (day/month/year)</u>
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PCT

国際予備審査報告

(法第12条、法施行規則第56条)

[PCT36条及びPCT規則70]



14T

出願人又は代理人 書類記号 2617WOOP	今後の手続きについては、国際予備審査報告の送付通知(様式PCT/ IPEA/416)を参照すること。	
国際出願番号 PCT/JPO0/04036	国際出願日 (日.月.年) 21.06.00	優先日 (日.月.年) 22.06.99
国際特許分類(IPC) Int. Cl ⁷ C07D233/64		
出願人(氏名又は名称) 武田薬品工業株式会社		

- 国際予備審査機関が作成したこの国際予備審査報告を法施行規則第57条(PCT36条)の規定に従い送付する。
- この国際予備審査報告は、この表紙を含めて全部で 4 ページからなる。
☐ この国際予備審査報告には、附属書類、つまり補正されて、この報告の基礎とされた及び/又はこの国際予備審査機関に対してした訂正を含む明細書、請求の範囲及び/又は図面も添付されている。
(PCT規則70.16及びPCT実施細則第607号参照)
この附属書類は、全部で ページである。
- この国際予備審査報告は、次の内容を含む。
 - ☒ 国際予備審査報告の基礎
 - ☐ 優先権
 - ☐ 新規性、進歩性又は産業上の利用可能性についての国際予備審査報告の不作成
 - ☐ 発明の単一性の欠如
 - ☒ PCT35条(2)に規定する新規性、進歩性又は産業上の利用可能性についての見解、それを裏付けるための文献及び説明
 - ☒ ある種の引用文献
 - ☐ 国際出願の不備
 - ☐ 国際出願に対する意見

国際予備審査の請求書を受理した日 03.08.00	国際予備審査報告を作成した日 11.04.01		
名称及びあて先 日本国特許庁(IPEA/JP) 郵便番号100-8915 東京都千代田区霞が関三丁目4番3号	特許庁審査官(権限のある職員) 弘 實 謙 二 印	4P	7433
電話番号 03-3581-1101 内線 3492			

I. 国際予備審査報告の基礎

1. この国際予備審査報告は下記の出願書類に基づいて作成された。(法第6条(PCT14条)の規定に基づく命令に応答するために提出された差し替え用紙は、この報告書において「出願時」とし、本報告書には添付しない。
PCT規則70.16, 70.17)

☒ 出願時の国際出願書類

- ☐ 明細書 第 _____ ページ、 出願時に提出されたもの
明細書 第 _____ ページ、 国際予備審査の請求書と共に提出されたもの
明細書 第 _____ ページ、 _____ 付の書簡と共に提出されたもの
- ☐ 請求の範囲 第 _____ 項、 出願時に提出されたもの
請求の範囲 第 _____ 項、 PCT19条の規定に基づき補正されたもの
請求の範囲 第 _____ 項、 国際予備審査の請求書と共に提出されたもの
請求の範囲 第 _____ 項、 _____ 付の書簡と共に提出されたもの
- ☐ 図面 第 _____ ページ/図、 出願時に提出されたもの
図面 第 _____ ページ/図、 国際予備審査の請求書と共に提出されたもの
図面 第 _____ ページ/図、 _____ 付の書簡と共に提出されたもの
- ☐ 明細書の配列表の部分 第 _____ ページ、 出願時に提出されたもの
明細書の配列表の部分 第 _____ ページ、 国際予備審査の請求書と共に提出されたもの
明細書の配列表の部分 第 _____ ページ、 _____ 付の書簡と共に提出されたもの

2. 上記の出願書類の言語は、下記に示す場合を除くほか、この国際出願の言語である。

上記の書類は、下記の言語である _____ 語である。

- ☐ 国際調査のために提出されたPCT規則23.1(b)にいう翻訳文の言語
☐ PCT規則48.3(b)にいう国際公開の言語
☐ 国際予備審査のために提出されたPCT規則55.2または55.3にいう翻訳文の言語

3. この国際出願は、ヌクレオチド又はアミノ酸配列を含んでおり、次の配列表に基づき国際予備審査報告を行った。

- ☐ この国際出願に含まれる書面による配列表
☐ この国際出願と共に提出されたフレキシブルディスクによる配列表
☐ 出願後に、この国際予備審査(または調査)機関に提出された書面による配列表
☐ 出願後に、この国際予備審査(または調査)機関に提出されたフレキシブルディスクによる配列表
☐ 出願後に提出した書面による配列表が出願時における国際出願の開示の範囲を超える事項を含まない旨の陳述書の提出があった
☐ 書面による配列表に記載した配列とフレキシブルディスクによる配列表に記載した配列が同一である旨の陳述書の提出があった。

4. 補正により、下記の書類が削除された。

- ☐ 明細書 第 _____ ページ
☐ 請求の範囲 第 _____ 項
☐ 図面 図面の第 _____ ページ/図

5. ☐ この国際予備審査報告は、補充欄に示したように、補正が出願時における開示の範囲を越えてされたものと認められるので、その補正がされなかったものとして作成した。(PCT規則70.2(c) この補正を含む差し替え用紙は上記1.における判断の際に考慮しなければならない、本報告に添付する。)

V. 新規性、進歩性又は産業上の利用可能性についての法第12条(PCT35条(2))に定める見解、それを裏付ける文献及び説明

1. 見解

新規性 (N)	請求の範囲	2-14, 17	有
	請求の範囲	1, 15, 16	無
進歩性 (IS)	請求の範囲	2-14, 17	有
	請求の範囲	1, 15, 16	無
産業上の利用可能性 (IA)	請求の範囲	1-17	有
	請求の範囲		無

2. 文献及び説明 (PCT規則70.7)

先行技術文献:

- 1) EP, 503785, A1 (SANKYO COMPANY LTD) 16.2月.1991(16.02.92)
- 2) Journal of Medical Chemistry; vol. 28 (No. 11) p. 1704-1716 (1985)
- 3) US, 4367236, A (MERRER DOW PHARMACEUTICALS INC.) 4.6月.1983(04.06.83)

文献1)のp74-75, 77-78の Reaction Scheme H 及び p158の PREPARATION 27 には、置換基を有する4(5)-シアノイミダゾールとイソプロピルマグネシウム臭化物との反応で、4(5)-イソブチリルイミダゾール誘導体を製造する方法が記載されている。

したがって、請求の範囲1の方法及び請求の範囲15, 16の化合物は新規性がない。

文献2)のp1707 Table-IIにおける 2-21の化合物、文献3)の EXAMPLE 2 の化合物は、いずれもイミダゾールの4位にC 3以上で分岐のあるアルキルカルボニル基を有する化合物であるから、請求の範囲15, 16は新規性がない。

また、請求の範囲において、A環は置換されてもよいイミダゾール環であると定義されているが、その置換基については特定されていない。その置換基が単なる保護基や反応に関与しない基を意味する場合には請求の範囲1, 15, 16は進歩性がない。

VI. ある種の引用文献

1. ある種の公表された文書 (PCT規則70.10)

出願番号 特許番号	公知日 (日. 月. 年)	出願日 (日. 月. 年)	優先日 (有効な優先権の主張) (日. 月. 年)
WO, 99/54309, A1 (E, X)	(28. 10. 99)	(22. 44. 99)	(23. 04. 98)

2. 書面による開示以外の開示 (PCT規則70.9)

書面による開示以外の開示の種類	書面による開示以外の開示の日付 (日. 月. 年)	書面による開示以外の開示に言及している 書面の日付 (日. 月. 年)
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国際調査報告

(法 8 条、法施行規則第40、41条)
〔P C T 1 8 条、P C T 規則43、44〕

出願人又は代理人 の書類記号 2 6 1 7 W O O P	今後の手続きについては、国際調査報告の送付通知様式(P C T / I S A / 2 2 0) 及び下記5を参照すること。	
国際出願番号 P C T / J P 0 0 / 0 4 0 3 6	国際出願日 (日.月.年) 2 1 . 0 6 . 0 0	優先日 (日.月.年) 2 2 . 0 6 . 9 9
出願人 (氏名又は名称) 武田薬品工業株式会社		

国際調査機関が作成したこの国際調査報告を法施行規則第41条 (P C T 1 8 条) の規定に従い出願人に送付する。
この写しは国際事務局にも送付される。

この国際調査報告は、全部で 3 ページである。

☐ この調査報告に引用された先行技術文献の写しも添付されている。

1. 国際調査報告の基礎

a. 言語は、下記に示す場合を除くほか、この国際出願がされたものに基づき国際調査を行った。

☐ この国際調査機関に提出された国際出願の翻訳文に基づき国際調査を行った。

b. この国際出願は、ヌクレオチド又はアミノ酸配列を含んでおり、次の配列表に基づき国際調査を行った。

☐ この国際出願に含まれる書面による配列表

☐ この国際出願と共に提出されたフレキシブルディスクによる配列表

☐ 出願後に、この国際調査機関に提出された書面による配列表

☐ 出願後に、この国際調査機関に提出されたフレキシブルディスクによる配列表

☐ 出願後に提出した書面による配列表が出願時における国際出願の開示の範囲を超える事項を含まない旨の陳述書の提出があった。

☐ 書面による配列表に記載した配列とフレキシブルディスクによる配列表に記載した配列が同一である旨の陳述書の提出があった。

2. ☐ 請求の範囲の一部の調査ができない (第 I 欄参照)。

3. ☐ 発明の単一性が欠如している (第 II 欄参照)。

4. 発明の名称は ☒ 出願人が提出したものを承認する。
☐ 次に示すように国際調査機関が作成した。

5. 要約は ☒ 出願人が提出したものを承認する。

☐ 第 III 欄に示されているように、法施行規則第47条 (P C T 規則38.2(b)) の規定により国際調査機関が作成した。出願人は、この国際調査報告の発送の日から 1 カ月以内にこの国際調査機関に意見を提出することができる。

6. 要約書とともに公表される図は、

第 _____ 図とする。 ☐ 出願人が示したとおりである。

☒ なし

☐ 出願人は図を示さなかった。

☐ 本図は発明の特徴を一層よく表している。

A. 発明の属する分野の分類 (国際特許分類 (IPC))

Int. Cl⁷ C07D233/64

B. 調査を行った分野

調査を行った最小限資料 (国際特許分類 (IPC))

Int. Cl⁷ C07D233/64

最小限資料以外の資料で調査を行った分野に含まれるもの

国際調査で使用した電子データベース (データベースの名称、調査に使用した用語)

CAPLUS, REGISTRY (STN)

C. 関連すると認められる文献

引用文献の カテゴリー*	引用文献名 及び一部の箇所が関連するときは、その関連する箇所の表示	関連する 請求の範囲の番号
PX	WO, 99/54309, A1 (TAKEDA CHEMICAL INDUSTRIES LTD) 28.10月.1999 (28.10.99) 実施例参照。 & JP, 2000-7658, A	2-14
X	EP, 503785, A1 (SANKYO COMPANY LTD) 16.2月.1992 (16.02.92) p74-75, 77-78 の ReactionScheme H 及びp158 の PR EPARATION 27 参照。 & CN, 1065063, A & US, 5616599, A & JP, 5-78328, A & EP, 545912, A2	1, 15-16

☒ C欄の続きにも文献が列挙されている。☐ パテントファミリーに関する別紙を参照。

* 引用文献のカテゴリー

「A」 特に関連のある文献ではなく、一般的技術水準を示すもの

「E」 国際出願日前の出願または特許であるが、国際出願日以後に公表されたもの

「L」 優先権主張に疑義を提起する文献又は他の文献の発行日若しくは他の特別な理由を確立するために引用する文献 (理由を付す)

「O」 口頭による開示、使用、展示等に言及する文献

「P」 国際出願日前で、かつ優先権の主張の基礎となる出願

の日の後に公表された文献

「T」 国際出願日又は優先日後に公表された文献であって出願と矛盾するものではなく、発明の原理又は理論の理解のために引用するもの

「X」 特に関連のある文献であって、当該文献のみで発明の新規性又は進歩性がないと考えられるもの

「Y」 特に関連のある文献であって、当該文献と他の1以上の文献との、当業者にとって自明である組合せによって進歩性がないと考えられるもの

「&」 同一パテントファミリー文献

国際調査を完了した日

29.09.00

国際調査報告の発送日

10.10.00

国際調査機関の名称及びあて先

日本国特許庁 (ISA/JP)

郵便番号100-8915

東京都千代田区霞が関三丁目4番3号

特許庁審査官 (権限のある職員)

横尾 俊一

4P

7822

電話番号 03-3581-1101 内線 3490

C (続き) . 関連すると認められる文献		
引用文献の カテゴリー*	引用文献名 及び一部の箇所が関連するときは、その関連する箇所の表示	関連する 請求の範囲の番号
X	ROLF PAUL et. al "Imidazo[1,5-d][1,2,4]triazines as Potential Antiasthma Agents" Journal of Medicinal Chemistry;vol.28 (No.11) p1704-1716 (1985) p1707 Table-II, 化合物 2-21 参照	15-16
X	US, 4 3 6 7 2 3 6, A (MERRER DOW PHARMACEUTICALS INC.) 4.6月.1983 (04.06.83) EXAMPLE 2 参照。 & JP,58-85867,A & GB,2112383,A & EP,79049,A2	15-16
A	US, 3 7 5 9 9 3 4, A (SANDOZ-WANDER INC.) 18.9月.1973 (18.09.73) (ファミリーなし)	2-14

PCT

REQUEST

The undersigned requests that the present international application be processed according to the Patent Cooperation Treaty.

For receiving Office use only

International Application No.

International Filing Date

Name of receiving Office and "PCT International Application"

Applicant's or agent's file reference
(if desired) (12 characters maximum)

2617WO0P

Box No. I TITLE OF INVENTION

PRODUCTION METHOD OF IMIDAZOLE DERIVATIVES

Box No. II APPLICANT

Name and address: (Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)

TAKEDA CHEMICAL INDUSTRIES, LTD.

1-1, Doshomachi 4-chome, Chuo-ku, Osaka-shi,
OSAKA 541-0045 JAPAN

☐ This person is also inventor.

Telephone No.

Facsimile No.

Teleprinter No.

State (that is, country) of nationality:

Japan

State (that is, country) of residence:

Japan

This person is applicant
for the purposes of:

☐ all designated
States

☒ all designated States except
the United States of America

☐ the United States
of America only

☐ the States indicated in
the Supplemental Box

Box No. III FURTHER APPLICANT(S) AND/OR (FURTHER) INVENTOR(S)

Name and address: (Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)

KAWAKAMI Jun-ichi

4-6-301, Kitashinmachi, Ikoma-shi,
NARA 630-0245 JAPAN

This person is:

☐ applicant only

☒ applicant and inventor

☐ inventor only (If this check-box
is marked, do not fill in below.)

State (that is, country) of nationality:

Japan

State (that is, country) of residence:

Japan

This person is applicant
for the purposes of:

☐ all designated
States

☐ all designated States except
the United States of America

☒ the United States
of America only

☐ the States indicated in
the Supplemental Box

☒ Further applicants and/or (further) inventors are indicated on a continuation sheet.

Box No. IV AGENT OR COMMON REPRESENTATIVE; OR ADDRESS FOR CORRESPONDENCE

The person identified below is hereby/has been appointed to act on behalf
of the applicant(s) before the competent International Authorities as:

☒ agent

☐ common representative

Name and address: (Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country.)

Patent Attorney, Registered No. 11404, TAKAHASHI Shuichi

c/o Osaka Plant of TAKEDA CHEMICAL INDUSTRIES, LTD.
17-85, Jusohonmachi 2-chome, Yodogawa-ku, Osaka-shi,
OSAKA 532-0024 JAPAN

Telephone No.

03-3278-2235

Facsimile No.

03-3278-2222

Teleprinter No.

☐ Address for correspondence: Mark this check-box where no agent or common representative is/has been appointed and the space above is used instead to indicate a special address to which correspondence should be sent.

Box No. V DESIGNATION OF STATES

The following designations are hereby made under Rule 4.9(a) (mark the applicable check-boxes; at least one must be marked):

Regional Patent

- ☒ AP ARIPO Patent: GH Ghana, GM Gambia, KE Kenya, LS Lesotho, MW Malawi, SD Sudan, SL Sierra Leone, SZ Swaziland, TZ United Republic of Tanzania, UG Uganda, ZW Zimbabwe, and any other State which is a Contracting State of the Harare Protocol and of the PCT
- ☒ EA Eurasian Patent: AM Armenia, AZ Azerbaijan, BY Belarus, KG Kyrgyzstan, KZ Kazakhstan, MD Republic of Moldova, RU Russian Federation, TJ Tajikistan, TM Turkmenistan, and any other State which is a Contracting State of the Eurasian Patent Convention and of the PCT
- ☒ EP European Patent: AT Austria, BE Belgium, CH and LI Switzerland and Liechtenstein, CY Cyprus, DE Germany, DK Denmark, ES Spain, FI Finland, FR France, GB United Kingdom, GR Greece, IE Ireland, IT Italy, LU Luxembourg, MC Monaco, NL Netherlands, PT Portugal, SE Sweden, and any other State which is a Contracting State of the European Patent Convention and of the PCT
- ☒ OA OAPI Patent: BF Burkina Faso, BJ Benin, CF Central African Republic, CG Congo, CI Côte d'Ivoire, CM Cameroon, GA Gabon, GN Guinea, GW Guinea-Bissau, ML Mali, MR Mauritania, NE Niger, SN Senegal, TD Chad, TG Togo, and any other State which is a member State of OAPI and a Contracting State of the PCT (if other kind of protection or treatment desired, specify on dotted line)

National Patent (if other kind of protection or treatment desired, specify on dotted line):

- | | | |
|---|--|--|
| <input checked="" type="checkbox"/> AE United Arab Emirates | <input checked="" type="checkbox"/> LR Liberia | |
| <input checked="" type="checkbox"/> AL Albania | <input type="checkbox"/> LS Lesotho | |
| <input checked="" type="checkbox"/> AM Armenia | <input checked="" type="checkbox"/> LT Lithuania | |
| <input type="checkbox"/> AT Austria | <input type="checkbox"/> LU Luxembourg | |
| <input checked="" type="checkbox"/> AU Australia | <input checked="" type="checkbox"/> LV Latvia | |
| <input checked="" type="checkbox"/> AZ Azerbaijan | <input checked="" type="checkbox"/> MA Morocco | |
| <input checked="" type="checkbox"/> BA Bosnia and Herzegovina | <input checked="" type="checkbox"/> MD Republic of Moldova | |
| <input checked="" type="checkbox"/> BB Barbados | <input checked="" type="checkbox"/> MG Madagascar | |
| <input checked="" type="checkbox"/> BG Bulgaria | <input checked="" type="checkbox"/> MK The former Yugoslav Republic of Macedonia | |
| <input checked="" type="checkbox"/> BR Brazil | | |
| <input checked="" type="checkbox"/> BY Belarus | <input checked="" type="checkbox"/> MN Mongolia | |
| <input checked="" type="checkbox"/> CA Canada | <input type="checkbox"/> MW Malawi | |
| <input type="checkbox"/> CH and LI Switzerland and Liechtenstein | <input checked="" type="checkbox"/> MX Mexico | |
| <input checked="" type="checkbox"/> CN China | <input checked="" type="checkbox"/> NO Norway | |
| <input checked="" type="checkbox"/> CR Costa Rica | <input checked="" type="checkbox"/> NZ New Zealand | |
| <input checked="" type="checkbox"/> CU Cuba | <input checked="" type="checkbox"/> PL Poland | |
| <input checked="" type="checkbox"/> CZ Czech Republic | <input type="checkbox"/> PT Portugal | |
| <input type="checkbox"/> DE Germany | <input checked="" type="checkbox"/> RO Romania | |
| <input type="checkbox"/> DK Denmark | <input checked="" type="checkbox"/> RU Russian Federation | |
| <input checked="" type="checkbox"/> DM Dominica | <input type="checkbox"/> SD Sudan | |
| <input checked="" type="checkbox"/> EE Estonia | <input type="checkbox"/> SE Sweden | |
| <input type="checkbox"/> ES Spain | <input checked="" type="checkbox"/> SG Singapore | |
| <input type="checkbox"/> FI Finland | <input checked="" type="checkbox"/> SI Slovenia | |
| <input type="checkbox"/> GB United Kingdom | <input checked="" type="checkbox"/> SK Slovakia | |
| <input checked="" type="checkbox"/> GD Grenada | <input type="checkbox"/> SL Sierra Leone | |
| <input checked="" type="checkbox"/> GE Georgia | <input checked="" type="checkbox"/> TJ Tajikistan | |
| <input type="checkbox"/> GH Ghana | <input checked="" type="checkbox"/> TM Turkmenistan | |
| <input type="checkbox"/> GM Gambia | <input checked="" type="checkbox"/> TR Turkey | |
| <input checked="" type="checkbox"/> HR Croatia | <input checked="" type="checkbox"/> TT Trinidad and Tobago | |
| <input checked="" type="checkbox"/> HU Hungary | <input type="checkbox"/> TZ United Republic of Tanzania | |
| <input checked="" type="checkbox"/> ID Indonesia | <input checked="" type="checkbox"/> UA Ukraine | |
| <input checked="" type="checkbox"/> IL Israel | <input type="checkbox"/> UG Uganda | |
| <input checked="" type="checkbox"/> IN India | <input checked="" type="checkbox"/> US United States of America | |
| <input checked="" type="checkbox"/> IS Iceland | | |
| <input checked="" type="checkbox"/> JP Japan | <input checked="" type="checkbox"/> UZ Uzbekistan | |
| <input type="checkbox"/> KE Kenya | <input checked="" type="checkbox"/> VN Viet Nam | |
| <input checked="" type="checkbox"/> KG Kyrgyzstan | <input checked="" type="checkbox"/> YU Yugoslavia | |
| <input type="checkbox"/> KP Democratic People's Republic of Korea | <input checked="" type="checkbox"/> ZA South Africa | |
| | <input type="checkbox"/> ZW Zimbabwe | |
| <input checked="" type="checkbox"/> KR Republic of Korea | Check-boxes reserved for designating States which have become party to the PCT after issuance of this sheet: | |
| <input checked="" type="checkbox"/> KZ Kazakhstan | <input checked="" type="checkbox"/> DZ Democratic People's Republic of Algeria | |
| <input checked="" type="checkbox"/> LC Saint Lucia | <input checked="" type="checkbox"/> AG Antigua and Barbuda | |
| <input checked="" type="checkbox"/> LK Sri Lanka | <input checked="" type="checkbox"/> MZ Mozambique | |
| | <input checked="" type="checkbox"/> BZ Belize | |

Precautionary Designation Statement: In addition to the designations made above, the applicant also makes under Rule 4.9(b) all other designations which would be permitted under the PCT except any designation(s) indicated in the Supplemental Box as being excluded from the scope of this statement. The applicant declares that those additional designations are subject to confirmation and that any designation which is not confirmed before the expiration of 15 months from the priority date is to be regarded as withdrawn by the applicant at the expiration of that time limit. (Confirmation (including fees) must reach the receiving Office within the 15-month time limit.)

Supplemental Box

If the Supplemental Box is not used, this sheet should not be included in the request.

1. *If, in any of the Boxes, the space is insufficient to furnish all the information: in such case, write "Continuation of Box No. ..." [indicate the number of the Box] and furnish the information in the same manner as required according to the captions of the Box in which the space was insufficient, in particular:*

- (i) *if more than two persons are involved as applicants and/or inventors and no "continuation sheet" is available: in such case, write "Continuation of Box No. III" and indicate for each additional person the same type of information as required in Box No. III. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below;*
- (ii) *if, in Box No. II or in any of the sub-boxes of Box No. III, the indication "the States indicated in the Supplemental Box" is checked: in such case, write "Continuation of Box No. II" or "Continuation of Box No. III" or "Continuation of Boxes No. II and No. III" (as the case may be), indicate the name of the applicant(s) involved and, next to (each) such name, the State(s) (and/or, where applicable, ARIPO, Eurasian, European or OAPI patent) for the purposes of which the named person is applicant;*
- (iii) *if, in Box No. II or in any of the sub-boxes of Box No. III, the inventor or the inventor/applicant is not inventor for the purposes of all designated States or for the purposes of the United States of America: in such case, write "Continuation of Box No. II" or "Continuation of Box No. III" or "Continuation of Boxes No. II and No. III" (as the case may be), indicate the name of the inventor(s) and, next to (each) such name, the State(s) (and/or, where applicable, ARIPO, Eurasian, European or OAPI patent) for the purposes of which the named person is inventor;*
- (iv) *if, in addition to the agent(s) indicated in Box No. IV, there are further agents: in such case, write "Continuation of Box No. IV" and indicate for each further agent the same type of information as required in Box No. IV;*
- (v) *if, in Box No. V, the name of any State (or OAPI) is accompanied by the indication "patent of addition," or "certificate of addition," or if, in Box No. V, the name of the United States of America is accompanied by an indication "continuation" or "continuation-in-part": in such case, write "Continuation of Box No. V" and the name of each State involved (or OAPI), and after the name of each such State (or OAPI), the number of the parent title or parent application and the date of grant of the parent title or filing of the parent application;*
- (vi) *if, in Box No. VI, there are more than three earlier applications whose priority is claimed: in such case, write "Continuation of Box No. VI" and indicate for each additional earlier application the same type of information as required in Box No. VI;*
- (vii) *if, in Box No. VI, the earlier application is an ARIPO application: in such case, write "Continuation of Box No. VI", specify the number of the item corresponding to that earlier application and indicate at least one country party to the Paris Convention for the Protection of Industrial Property for which that earlier application was filed.*

2. *If, with regard to the precautionary designation statement contained in Box No. V, the applicant wishes to exclude any State(s) from the scope of that statement: in such case, write "Designation(s) excluded from precautionary designation statement" and indicate the name or two-letter code of each State so excluded.*

3. *If the applicant claims, in respect of any designated Office, the benefits of provisions of the national law concerning non-prejudicial disclosures or exceptions to lack of novelty: in such case, write "Statement concerning non-prejudicial disclosures or exceptions to lack of novelty" and furnish that statement below.*

[Continuation of Box No. IV]

Patent Attorney, Registered No. 11045, UCHIYAMA Tsutomu
c/o Osaka Plant of Takeda Chemical Industries, Ltd.
17-85, Jusohonmachi 2-chome, Yodogawa-ku,
Osaka-shi, OSAKA 532-0024 JAPAN

Box No. VI PRIORITY CLAIM					<input type="checkbox"/> Further priority claims are indicated in the Supplemental Box.
Filing date of earlier application (day/month/year)	Number of earlier application	Where earlier application is:			
		national application: country	regional application:* regional Office	international application: receiving Office	
item (1) 22. 06. 99.	175070/1999	Japan			
item (2)					
item (3)					
<input checked="" type="checkbox"/> The receiving Office is requested to prepare and transmit to the International Bureau a certified copy of the earlier application(s) (only if the earlier application was filed with the Office which for the purposes of the present international application is the receiving Office) identified above as item(s): (1)					
<small>* Where the earlier application is an ARIPO application, it is mandatory to indicate in the Supplemental Box at least one country party to the Paris Convention for the Protection of Industrial Property for which that earlier application was filed (Rule 4.10(b)(ii)). See Supplemental Box.</small>					
Box No. VII INTERNATIONAL SEARCHING AUTHORITY					
Choice of International Searching Authority (ISA) (if two or more International Searching Authorities are competent to carry out the international search, indicate the Authority chosen; the two-letter code may be used): ISA/JP		Request to use results of earlier search; reference to that search (if an earlier search has been carried out by or requested from the International Searching Authority): Date (day/month/year) Number Country (or regional Office)			
Box No. VIII CHECK LIST; LANGUAGE OF FILING					
This international application contains the following number of sheets: request : 4 description (excluding sequence listing part) : 27 claims : 6 abstract : 1 drawings : 0 sequence listing part of description : 0 Total number of sheets : 38		This international application is accompanied by the item(s) marked below: 1. <input checked="" type="checkbox"/> fee calculation sheet 2. <input checked="" type="checkbox"/> separate signed power of attorney 3. <input checked="" type="checkbox"/> copy of general power of attorney; reference number, if any: 4. <input type="checkbox"/> statement explaining lack of signature 5. <input type="checkbox"/> priority document(s) identified in Box No. VI as item(s): 6. <input type="checkbox"/> translation of international application into (language): 7. <input type="checkbox"/> separate indications concerning deposited microorganism or other biological material 8. <input type="checkbox"/> nucleotide and/or amino acid sequence listing in computer readable form 9. <input type="checkbox"/> other (specify):			
Figure of the drawings which should accompany the abstract:		Language of filing of the international application: Japanese			
Box No. IX SIGNATURE OF APPLICANT OR AGENT					
Next to each signature, indicate the name of the person signing and the capacity in which the person signs (if such capacity is not obvious from reading the request).					
TAKAHASHI Shuichi (Seal) UCHIYAMA Tsutomu (Seal)					

For receiving Office use only	
1. Date of actual receipt of the purported international application:	2. Drawings: <input type="checkbox"/> received: <input type="checkbox"/> not received:
3. Corrected date of actual receipt due to later but timely received papers or drawings completing the purported international application:	
4. Date of timely receipt of the required corrections under PCT Article 11(2):	
5. International Searching Authority (if two or more are competent): ISA/JP	6. <input type="checkbox"/> Transmittal of search copy delayed until search fee is paid.

For International Bureau use only
Date of receipt of the record copy by the International Bureau:



P B 5818 - Patentlaan 2
2280 HV Rijswijk (ZH)
☎ +31 70 340 2040
TX 31651 epo nl
FAX +31 70 340 3016

Europäisches
Patentamt

Zweigstelle
in Den Haag
Recherchen-
abteilung

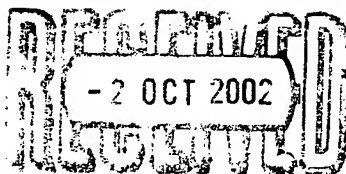
European
Patent Office

Branch at
The Hague
Search
division

Office européen
des brevets

Département à
La Haye
Division de la
recherche

Lewin, John Harvey
Takeda Patent Office,
11-12 Charles II Street
London SW1Y 4QU
GRANDE BRETAGNE



Datum/Date

26.09.02

Zeichen/Ref./Réf.

2617EP0W

Anmeldung Nr./Application No./Demande n°/Patent Nr./Patent No./Brevet n°

00940770.1

Anmelder/Applicant/Demandeur/Patentinhaber/Proprietor/Titulaire

Takeda Chemical Industries, Ltd.

COMMUNICATION

The European Patent Office herewith transmits

- ☐ the European search report
- ☐ the declaration under Rule 45 EPC
- ☐ the partial European search report under Rule 45 EPC
- ☒ the supplementary European search report concerning the international application under Article 157(2) EPC relating to the above-mentioned European patent application. Copies of the documents cited in the search report are enclosed.

The following specifications given by the applicant have been approved by the Search Division :

- ☐ Abstract ☐ Title ☐ Figure
- ☐ The abstract was modified by the Search Division and the definitive text is attached to this communication.
- ☐ The following figure will be published with the abstract, since the Search Division considers that it better characterises the invention than the one indicated by the applicant.

Figure:

- ☐ Additional copy(copies) of the documents cited in the European search report.

REFUND OF THE SEARCH FEE

If applicable under Article 10 Rules relating to fees, a separate communication from the Receiving Section on the refund of the search fee will be sent later.



EPO Form 1507 02.93



DOCUMENTS CONSIDERED TO BE RELEVANT																			
Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	CLASSIFICATION OF THE APPLICATION (Int.Cl.7)																
X	US 4 602 093 A (BALDWIN JOHN J ET AL) 22 July 1986 (1986-07-22) column 23, step E ----	1,5-9, 11-14	C07D233/64																
X	BELL, A.S. ET AL.: "2(1H)-Quinolinones with cardiac stimulant activity. 3. Synthesis and biological properties of 6-imidazol-1-yl derivatives." JOURNAL OF MEDICINAL CHEMISTRY, vol. 32, no. 7, 1989, pages 1552-1558, XP002200975 Pages 1556-1557, Route K ----	1,5-9, 11-14																	
A	JERRY MARCH: "Advanced Organic Chemistry - Fourth Edition" 1992, JOHN WILEY & SONS XP002200976 see Ch. 6-37 * page 935 - page 936 * ----	1,5-9, 11-14																	
A	WO 95 01967 A (ASTRA AB ;BOAR BERNARD ROBIN (GB); CROSS ALAN JOHN (GB); GRAY DUNC) 19 January 1995 (1995-01-19) page 9, scheme (a) * example 1 * -----	2-14	TECHNICAL FIELDS SEARCHED (Int.Cl.7) C07D																
The supplementary search report has been based on the last set of claims valid and available at the start of the search.																			
Place of search MUNICH		Date of completion of the search 18 September 2002	Examiner Uselli, A																
<table border="0"><tr><td>CATEGORY OF CITED DOCUMENTS</td><td>T : theory or principle underlying the invention</td></tr><tr><td>X : particularly relevant if taken alone</td><td>E : earlier patent document, but published on, or</td></tr><tr><td>Y : particularly relevant if combined with another</td><td>after the filing date</td></tr><tr><td>document of the same category</td><td>D : document cited in the application</td></tr><tr><td>A : technological background</td><td>L : document cited for other reasons</td></tr><tr><td>O : non-written disclosure</td><td>.....</td></tr><tr><td>P : intermediate document</td><td>& : member of the same patent family, corresponding</td></tr><tr><td></td><td>document</td></tr></table>				CATEGORY OF CITED DOCUMENTS	T : theory or principle underlying the invention	X : particularly relevant if taken alone	E : earlier patent document, but published on, or	Y : particularly relevant if combined with another	after the filing date	document of the same category	D : document cited in the application	A : technological background	L : document cited for other reasons	O : non-written disclosure	P : intermediate document	& : member of the same patent family, corresponding		document
CATEGORY OF CITED DOCUMENTS	T : theory or principle underlying the invention																		
X : particularly relevant if taken alone	E : earlier patent document, but published on, or																		
Y : particularly relevant if combined with another	after the filing date																		
document of the same category	D : document cited in the application																		
A : technological background	L : document cited for other reasons																		
O : non-written disclosure																		
P : intermediate document	& : member of the same patent family, corresponding																		
	document																		

**ANNEX TO THE EUROPEAN SEARCH REPORT
ON EUROPEAN PATENT APPLICATION NO.**

EP 00 94 0770

This annex lists the patent family members relating to the patent documents cited in the above-mentioned European search report. The members are as contained in the European Patent Office EDP file on
The European Patent Office is in no way liable for these particulars which are merely given for the purpose of information.

18-09-2002

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
US 4602093	A	22-07-1986	ZA 8500934 A	24-09-1986
WO 9501967	A	19-01-1995	AU 691191 B2	14-05-1998
			AU 7197894 A	06-02-1995
			BR 9406924 A	30-07-1996
			CA 2164876 A1	19-01-1995
			CN 1126990 A ,B	17-07-1996
			CZ 9600041 A3	12-06-1996
			EP 0707573 A1	24-04-1996
			FI 960077 A	08-01-1996
			HU 74673 A2	28-01-1997
			JP 8512313 T	24-12-1996
			NO 960029 A	04-01-1996
			NZ 268690 A	24-11-1997
			PL 312427 A1	29-04-1996
			RU 2137763 C1	20-09-1999
			WO 9501967 A1	19-01-1995
			SK 159995 A3	05-06-1996
			US 5747515 A	05-05-1998



CLAIMS INCURRING FEES

The present European patent application comprised at the time of filing more than ten claims.

- ☐ Only part of the claims have been paid within the prescribed time limit. The present European search report has been drawn up for the first ten claims and for those claims for which claims fees have been paid, namely claim(s):
- ☐ No claims fees have been paid within the prescribed time limit. The present European search report has been drawn up for the first ten claims.

LACK OF UNITY OF INVENTION

The Search Division considers that the present European patent application does not comply with the requirements of unity of invention and relates to several inventions or groups of inventions, namely:

see sheet B

- ☐ All further search fees have been paid within the fixed time limit. The present European search report has been drawn up for all claims.
- ☐ As all searchable claims could be searched without effort justifying an additional fee, the Search Division did not invite payment of any additional fee.
- ☒ Only part of the further search fees have been paid within the fixed time limit. The present European search report has been drawn up for those parts of the European patent application which relate to the inventions in respect of which search fees have been paid, namely claims:
- 1-14
- ☐ None of the further search fees have been paid within the fixed time limit. The present European search report has been drawn up for those parts of the European patent application which relate to the invention first mentioned in the claims, namely claims:



The Search Division considers that the present European patent application does not comply with the requirements of unity of invention and relates to several inventions or groups of inventions, namely:

1. Claims: 1, 5(part)- 9(part), 11(part)-14(part)

Preparation of the compounds of formula (III)

2. Claims: 2-4, 5(part)-14(part)

Preparation of the compounds of formula (V)

3. Claims: 15-17 ---

Compounds of formula (IIIa)

担当者	G-M	Pat. M	部長
PCT COOPERATION TREE			

8/11/99

From the INTERNATIONAL BUREAU

PCT

NOTIFICATION OF RECEIPT OF
RECORD COPY

(PCT Rule 24.2(a))

To:

TAKAHASHI, Shuichi
Osaka Plant of Takeda Chemical
Industries, Ltd.
17-85, Jusohonmachi 2-chome
Yodogawa-ku
Osaka-shi
Osaka 532-0024
JAPON

Date of mailing (day/month/year) 26 July 2000 (26.07.00)	IMPORTANT NOTIFICATION
Applicant's or agent's file reference 2617WO0P	International application No. PCT/JP00/04036

The applicant is hereby notified that the International Bureau has received the record copy of the international application as detailed below.

Name(s) of the applicant(s) and State(s) for which they are applicants:

TAKEDA CHEMICAL INDUSTRIES, LTD. (for all designated States except US)
KAWAKAMI, Jun-ichi (for US)

International filing date : 21 June 2000 (21.06.00)
Priority date(s) claimed : 22 June 1999 (22.06.99)
Date of receipt of the record copy
by the International Bureau : 07 July 2000 (07.07.00)
List of designated Offices :



AP : GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW
EA : AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
EP : AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
OA : BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
National : AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU,
ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, RU, SG, SI,
SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA

ATTENTION

The applicant should carefully check the data appearing in this Notification. In case of any discrepancy between these data and the indications in the international application, the applicant should immediately inform the International Bureau.

In addition, the applicant's attention is drawn to the information contained in the Annex, relating to:

- ☒ time limits for entry into the national phase
☒ confirmation of precautionary designations
☒ requirements regarding priority documents

A copy of this Notification is being sent to the receiving Office and to the International Searching Authority.

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland	Authorized officer: Masashi HONDA
Facsimile No. (41-22) 740.14.35	Telephone No. (41-22) 338.83.38

INFORMATION ON TIME LIMITS FOR ENTERING THE NATIONAL PHASE

The applicant is reminded that the "national phase" must be entered before each of the designated Offices indicated in the Notification of Receipt of Record Copy (Form PCT/IB/301) by paying national fees and furnishing translations, as prescribed by the applicable national laws.

The time limit for performing these procedural acts is **20 MONTHS** from the priority date or, for those designated States which the applicant elects in a demand for international preliminary examination or in a later election, **30 MONTHS** from the priority date, provided that the election is made before the expiration of 19 months from the priority date. Some designated (or elected) Offices have fixed time limits which expire even later than 20 or 30 months from the priority date. In other Offices an extension of time or grace period, in some cases upon payment of an additional fee, is available.

In addition to these procedural acts, the applicant may also have to comply with other special requirements applicable in certain Offices. **It is the applicant's responsibility** to ensure that the necessary steps to enter the national phase are taken in a timely fashion. Most designated Offices do not issue reminders to applicants in connection with the entry into the national phase.

For detailed information about the procedural acts to be performed to enter the national phase before each designated Office, the applicable time limits and possible extensions of time or grace periods, and any other requirements, see the relevant Chapters of Volume II of the PCT Applicant's Guide. Information about the requirements for filing a demand for international preliminary examination is set out in Chapter IX of Volume I of the PCT Applicant's Guide.

GR and ES became bound by PCT Chapter II on 7 September 1996 and 6 September 1997, respectively, and may, therefore, be elected in a demand or a later election filed on or after 7 September 1996 and 6 September 1997, respectively, regardless of the filing date of the international application. (See second paragraph above.)

Note that only an applicant who is a national or resident of a PCT Contracting State which is bound by Chapter II has the right to file a demand for international preliminary examination.

CONFIRMATION OF PRECAUTIONARY DESIGNATIONS

This notification lists only specific designations made under Rule 4.9(a) in the request. It is important to check that these designations are correct. Errors in designations can be corrected where precautionary designations have been made under Rule 4.9(b). The applicant is hereby reminded that any precautionary designations may be confirmed according to Rule 4.9(c) before the expiration of 15 months from the priority date. If it is not confirmed, it will automatically be regarded as withdrawn by the applicant. There will be no reminder and no invitation. Confirmation of a designation consists of the filing of a notice specifying the designated State concerned (with an indication of the kind of protection or treatment desired) and the payment of the designation and confirmation fees. Confirmation must reach the receiving Office within the 15-month time limit.

REQUIREMENTS REGARDING PRIORITY DOCUMENTS

For applicants who have not yet complied with the requirements regarding priority documents, the following is recalled.

Where the priority of an earlier national, regional or international application is claimed, the applicant must submit a copy of the said earlier application, certified by the authority with which it was filed ("the priority document") to the receiving Office (which will transmit it to the International Bureau) or directly to the International Bureau, before the expiration of 16 months from the priority date, provided that any such priority document may still be submitted to the International Bureau before that date of international publication of the international application, in which case that document will be considered to have been received by the International Bureau on the last day of the 16-month time limit (Rule 17.1(a)).

Where the priority document is issued by the receiving Office, the applicant may, instead of submitting the priority document, request the receiving Office to prepare and transmit the priority document to the International Bureau. Such request must be made before the expiration of the 16-month time limit and may be subjected by the receiving Office to the payment of a fee (Rule 17.1(b)).

If the priority document concerned is not submitted to the International Bureau or if the request to the receiving Office to prepare and transmit the priority document has not been made (and the corresponding fee, if any, paid) within the applicable time limit indicated under the preceding paragraphs, any designated State may disregard the priority claim, provided that no designated Office may disregard the priority claim concerned before giving the applicant an opportunity to furnish the priority document within a time limit which is reasonable under the circumstances.

Where several priorities are claimed, the priority date to be considered for the purposes of computing the 16-month time limit is the filing date of the earliest application whose priority is claimed.

From the INTERNATIONAL BUREAU

PCT

NOTIFICATION CONCERNING
SUBMISSION OR TRANSMITTAL
OF PRIORITY DOCUMENT

(PCT Administrative Instructions, Section 411)

To:

TAKAHASHI, Shuichi
Osaka Plant of Takeda Chemical
Industries, Ltd.
17-85, Jusohonmachi 2-chome
Yodogawa-ku
Osaka-shi
Osaka 532-0024
JAPON

Date of mailing (day/month/year) 13 October 2000 (13.10.00)	
Applicant's or agent's file reference 2617WO0P	IMPORTANT NOTIFICATION
International application No. PCT/JP00/04036	International filing date (day/month/year) 21 June 2000 (21.06.00)
International publication date (day/month/year) Not yet published	Priority date (day/month/year) 22 June 1999 (22.06.99)
Applicant TAKEDA CHEMICAL INDUSTRIES, LTD. et al	

1. The applicant is hereby notified of the date of receipt (except where the letters "NR" appear in the right-hand column) by the International Bureau of the priority document(s) relating to the earlier application(s) indicated below. Unless otherwise indicated by an asterisk appearing next to a date of receipt, or by the letters "NR", in the right-hand column, the priority document concerned was submitted or transmitted to the International Bureau in compliance with Rule 17.1(a) or (b).
2. This updates and replaces any previously issued notification concerning submission or transmittal of priority documents.
3. An asterisk(*) appearing next to a date of receipt, in the right-hand column, denotes a priority document submitted or transmitted to the International Bureau but not in compliance with Rule 17.1(a) or (b). In such a case, **the attention of the applicant is directed to Rule 17.1(c)** which provides that no designated Office may disregard the priority claim concerned before giving the applicant an opportunity, upon entry into the national phase, to furnish the priority document within a time limit which is reasonable under the circumstances.
4. The letters "NR" appearing in the right-hand column denote a priority document which was not received by the International Bureau or which the applicant did not request the receiving Office to prepare and transmit to the International Bureau, as provided by Rule 17.1(a) or (b), respectively. In such a case, **the attention of the applicant is directed to Rule 17.1(c)** which provides that no designated Office may disregard the priority claim concerned before giving the applicant an opportunity, upon entry into the national phase, to furnish the priority document within a time limit which is reasonable under the circumstances.

<u>Priority date</u>	<u>Priority application No.</u>	<u>Country or regional Office or PCT receiving Office</u>	<u>Date of receipt of priority document</u>
22 June 1999 (22.06.99)	11/175070	JP	11 Augu 2000 (11.08.00)



The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland Facsimile No. (41-22) 740.14.35	Authorized officer Tessadel PAMPLIEGA <i>Tdp</i> Telephone No. (41-22) 338.83.38
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PCT COOPERATION TREATY

12

PCT

NOTICE INFORMING THE APPLICANT OF THE
COMMUNICATION OF THE INTERNATIONAL
APPLICATION TO THE DESIGNATED OFFICES

(PCT Rule 47.1(c), first sentence)

From the INTERNATIONAL BUREAU

To:

TAKAHASHI, Shuichi
Osaka Plant of Takeda Chemical
Industries, Ltd.
17-85, Jusohonmachi 2-chome
Yodogawa-ku
Osaka-shi
Osaka 532-0024
JAPON

Date of mailing (day/month/year) 28 December 2000 (28.12.00)		
Applicant's or agent's file reference 2617WO0P		IMPORTANT NOTICE
International application No. PCT/JP00/04036	International filing date (day/month/year) 21 June 2000 (21.06.00)	Priority date (day/month/year) 22 June 1999 (22.06.99)
Applicant TAKEDA CHEMICAL INDUSTRIES, LTD. et al		

1. Notice is hereby given that the International Bureau has communicated, as provided in Article 20, the international application to the following designated Offices on the date indicated above as the date of mailing of this Notice:

AG,AU,BZ,DZ,KR,MZ,US

In accordance with Rule 47.1(c), third sentence, those Offices will accept the present Notice as conclusive evidence that the communication of the international application has duly taken place on the date of mailing indicated above and no copy of the international application is required to be furnished by the applicant to the designated Office(s).

2. The following designated Offices have waived the requirement for such a communication at this time:

AE,AL,AM,AP,AZ,BA,BB,BG,BR,BY,CA,CN,CR,CU,CZ,DM,EA,EE,EP,GD,GE,HR,HU,ID,IL,IN,IS,
JP,KG,KZ,LC,LK,LR,LT,LV,MA,MD,MG,MK,MN,MX,NO,NZ,OA,PL,RO,RU,SG,SI,SK,TJ,TM,TR,TT,
UA,UZ,VN,YU,ZA

The communication will be made to those Offices only upon their request. Furthermore, those Offices do not require the applicant to furnish a copy of the international application (Rule 49.1(a-bis)).

3. Enclosed with this Notice is a copy of the international application as published by the International Bureau on 28 December 2000 (28.12.00) under No. WO 00/78727

REMINDER REGARDING CHAPTER II (Article 31(2)(a) and Rule 54.2)

If the applicant wishes to postpone entry into the national phase until 30 months (or later in some Offices) from the priority date, a demand for international preliminary examination must be filed with the competent International Preliminary Examining Authority before the expiration of 19 months from the priority date.

It is the applicant's sole responsibility to monitor the 19-month time limit.

Note that only an applicant who is a national or resident of a PCT Contracting State which is bound by Chapter II has the right to file a demand for international preliminary examination.

REMINDER REGARDING ENTRY INTO THE NATIONAL PHASE (Article 22 or 39(1))

If the applicant wishes to proceed with the international application in the national phase, he must, within 20 months or 30 months, or later in some Offices, perform the acts referred to therein before each designated or elected Office.

For further important information on the time limits and acts to be performed for entering the national phase, see the Annex to Form PCT/IB/301 (Notification of Receipt of Record Copy) and Volume II of the PCT Applicant's Guide.

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland Facsimile No. (41-22) 740.14.35	Authorized officer J. Zahra Telephone No. (41-22) 338.83.38
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PATENT COOPERATION TREATY

PCT

INFORMATION CONCERNING ELECTED
OFFICES NOTIFIED OF THEIR ELECTION

(PCT Rule 61.3)

From the INTERNATIONAL BUREAU

To:

TAKAHASHI, Shuichi
Osaka Plant of Takeda Chemical
Industries, Ltd.
17-85, Jusohonmachi 2-chome
Yodogawa-ku
Osaka-shi
Osaka 532-0024
JAPON

Date of mailing (day/month/year) 28 December 2000 (28.12.00)		
Applicant's or agent's file reference 2617WOOP		IMPORTANT INFORMATION
International application No. PCT/JP00/04036	International filing date (day/month/year) 21 June 2000 (21.06.00)	Priority date (day/month/year) 22 June 1999 (22.06.99)
Applicant TAKEDA CHEMICAL INDUSTRIES, LTD. et al		

1. The applicant is hereby informed that the International Bureau has, according to Article 31(7), notified each of the following Offices of its election:

AP : GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW
EP : AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
National : AG, AU, BG, BZ, CA, CN, CZ, DZ, IL, JP, KR, MN, MZ, NO, NZ, PL, RO, RU, SK, US

2. The following Offices have waived the requirement for the notification of their election; the notification will be sent to them by the International Bureau only upon their request:

EA : AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
OA : BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
National : AE, AL, AM, AZ, BA, BB, BR, BY, CR, CU, DM, EE, GD, GE, HR, HU, ID, IN, IS, KG, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MX, SG, SI, TJ, TM, TR, TT, UA, UZ, VN, YU, ZA

3. The applicant is reminded that he must enter the "national phase" before the expiration of 30 months from the priority date before each of the Offices listed above. This must be done by paying the national fee(s) and furnishing, if prescribed, a translation of the international application (Article 39(1)(a)), as well as, where applicable, by furnishing a translation of any annexes of the international preliminary examination report (Article 36(3)(b) and Rule 74.1).

Some offices have fixed time limits expiring later than the above-mentioned time limit. For detailed information about the applicable time limits and the acts to be performed upon entry into the national phase before a particular Office, see Volume II of the PCT Applicant's Guide.

The entry into the European regional phase is postponed until 31 months from the priority date for all States designated for the purposes of obtaining a European patent.

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland Facsimile No. (41-22) 740.14.35	Authorized officer: J. Zahra Telephone No. (41-22) 338.83.38
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(19) 世界知的所有権機関
国際事務局



(43) 国際公開日
2000年12月28日 (28.12.2000)

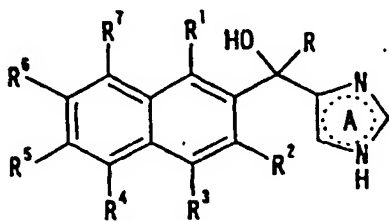
PCT

(10) 国際公開番号
WO 00/78727 A1

- (51) 国際特許分類⁷: C07D 233/64 (74) 代理人: 弁理士 高橋秀一, 外(TAKAHASHI, Shuichi et al.); 〒532-0024 大阪府大阪市淀川区十三本町2丁目17番85号 武田薬品工業株式会社 大阪工場内 Osaka (JP).
- (21) 国際出願番号: PCT/JP00/04036
- (22) 国際出願日: 2000年6月21日 (21.06.2000) (81) 指定国 (国内): AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA.
- (25) 国際出願の言語: 日本語
- (26) 国際公開の言語: 日本語
- (30) 優先権データ: 特願平11/175070 1999年6月22日 (22.06.1999) JP (84) 指定国 (広域): ARIPO 特許 (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), ユーラシア特許 (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), ヨーロッパ特許 (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI 特許 (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).
- (71) 出願人 (米国を除く全ての指定国について): 武田薬品工業株式会社 (TAKEDA CHEMICAL INDUSTRIES, LTD.) [JP/JP]; 〒541-0045 大阪府大阪市中央区道修町四丁目1番1号 Osaka (JP).
- (72) 発明者; および
- (75) 発明者/出願人 (米国についてのみ): 川上淳一 (KAWAKAMI, Jun-ichi) [JP/JP]; 〒630-0245 奈良県生駒市北新町4番6-301号 Nara (JP).
- 添付公開書類:
— 国際調査報告書
- 2文字コード及び他の略語については、定期発行される各PCTガゼットの巻頭に掲載されている「コードと略語のガイダンスノート」を参照。

(54) Title: PROCESS FOR THE PREPARATION OF IMIDAZOLE DERIVATIVES

(54) 発明の名称: イミダゾール誘導体の製造法



(V)

(57) Abstract: An industrially advantageous process for the preparation of compounds of general formula (V) exhibiting a steroid C17-C20 lyase inhibitory activity, which is reduced in the number of steps, attains a high yield and dispenses with the use of a heavy metal compound, wherein A is an optionally substituted imidazole ring; R is an optionally substituted hydrocarbon group or a heterocyclic group; and R¹, R², R³, R⁴, R⁵, R⁶, and R⁷ are each hydrogen, optionally substituted hydrocarbyl, OH, SH, NH₂, acyl, halogeno, or the like.

[続葉有]

WO 00/78727 A1